

PATENT ABSTRACTS OF JAPAN

(11)Publication number : 08-198769

(43)Date of publication of application : 06.08.1996

(51)Int.Cl.

A61K 35/78

A61K 35/78

A61K 35/78

A23L 1/30

A23L 1/307

(21)Application number : 07-027311

(71)Applicant : POLA CHEM IND INC

(22)Date of filing : 23.01.1995

(72)Inventor : NISHIMURA KEIICHI
YAMAMOTO MAKOTO

(54) EXCESSIVE NUTRITION ABSORPTION INHIBITOR AND COMPOSITION CONTAINING THE SAME

(57)Abstract:

PURPOSE: To obtain an excessive nutrition absorption inhibitor which comprises Chinese drugs (SEINETSU-YAKU) which can lower the fever caused by infectious diseases and is useful for prevention and improvement in obesity.

CONSTITUTION: Chinese drugs in the category of so-called 'SEINETSU-YAKU' such as *Lonicera japonica*, *Nelumbo nucifera* and the like are used in amounts of 1-50wt.%, preferably 1-20wt.% to give this inhibitor. Additionally, other arbitrary components are admixed thereto in appropriate amounts to produce a food composition or a medicinal composition. This drug composition can inhibit the absorption of an excessive food calories to prevent and improve accumulation of fat or obesity and hyperlipemia. The essence of plant bodies is prepared by extracting the plant bodies directly, or after drying and/or crashing with a polar solvent such as ethanol in an amount of 1-100 times the plant volume at room temperature to the solvent-boiling point. The dose of the inhibitor is 100-100,000mg/day and it is given in several portions.

LEGAL STATUS

[Date of request for examination] 30.10.2000

[Date of sending the examiner's decision of rejection] 29.11.2005

[Kind of final disposal of application other than the examiner's decision of rejection or application converted registration]

[Date of final disposal for application]

[Patent number]

[Date of registration]

[Number of appeal against examiner's decision of rejection]

[Date of requesting appeal against examiner's decision of rejection]

[Date of extinction of right]

Copyright (C); 1998,2003 Japan Patent Office

*** NOTICES ***

JPO and NCIP are not responsible for any damages caused by the use of this translation.

- 1.This document has been translated by computer. So the translation may not reflect the original precisely.
- 2.***** shows the word which can not be translated.
- 3.In the drawings, any words are not translated.

CLAIMS

[Claim(s)]

[Claim 1] The overnutrition absorption inhibitor which consists of *****.

[Claim 2] ***** The Caprifoliaceae Japanese honeysuckle (*Lonicera japonica*), Rubiaceae -- a gardenia (*Gardenia jasminoides*) -- Poaceae KOSASAKUSA (*Lophatherum gracile*), the Lamiaceae prunella (*Prunella vulgaris*), Leguminosae Cassia obtusifolia (*Cassia tora*), department Rehmannia Root of sesame NOHAKUSA (*Rehmannia glutinosa*), The Lamiaceae Scutellaria baicalensis (*Scutellaria baicalensis*), the Ranunculaceae coptis root (*Coptis chinensis*) and Rutaceae -- a yellowfin tuna (*Phellodendron amurense*) -- The Saururaceae Houttuynia (*Houttuynia cordata*), Leguminosae BUNDOU (*Phaseolus radiatus*), the Cucurbitaceae watermelon (*Citrullus vulgaris*) and Nymphaeaceae -- the overnutrition absorption inhibitor according to claim 1 which is one or more sorts chosen from lotuses (*Nelumbo nucifera*) and those extracts.

[Claim 3] ***** -- the Caprifoliaceae Japanese honeysuckle and Nymphaeaceae -- a lotus and the overnutrition absorption inhibitor according to claim 1 or 2 which is one or more sorts chosen from those extracts.

[Claim 4] Food containing an overnutrition absorption inhibitor according to claim 1 to 3 for obesity prevention.

[Claim 5] Food containing an overnutrition absorption inhibitor according to claim 1 to 3 for an obesity improvement.

[Claim 6] The physic constituent for obesity prevention which makes an active principle an overnutrition absorption inhibitor according to claim 1 to 3.

[Claim 7] The physic constituent for an obesity therapy which makes an active principle an overnutrition absorption inhibitor according to claim 1 to 3.

[Translation done.]

*** NOTICES ***

JPO and NCIP are not responsible for any damages caused by the use of this translation.

- 1.This document has been translated by computer. So the translation may not reflect the original precisely.
- 2.**** shows the word which can not be translated.
- 3.In the drawings, any words are not translated.

DETAILED DESCRIPTION

[Detailed Description of the Invention]

[0001]

[Industrial Application] This invention relates to the food containing the overnutrition absorption inhibitor and this which consist of ***** for obesity prevention, the food for an obesity improvement, the physic constituent for obesity prevention, and the physic constituent for an obesity therapy.

[0002]

[Description of the Prior Art] The present age is a time of the excess of a nutrition, and the obesity resulting from this is becoming a serious problem for a man of today. Especially, in Japan, the number of disease persons of the adult disease which the obesity accompanied by superfluous are recording of the body fat by intake with conjointly superfluous fats causes an illness of the circulatory system by lock out of an artery, pressure of a circulatory organ, etc. with Westernization of eating habits, and poses a problem socially was increased, and these improvements had become a big technical problem.

[0003] Reflecting such a situation, lean figure food, lipid metabolism improvement food, etc., such as various dietary fiber and eicosapentaenoic acid, are developed, or hyperlipemic drugs, such as mevalotin, have been developed.

[0004] However, lean figure food was reduction of absorption area with a physical mechanism, and although lipid metabolism raises lipid metabolism improvement food, since the energy produced by lipid metabolism will be stored in the inside of the body, no these could say that it was enough on effectiveness, and since absorption of the fat to the fundamental inside of the body was not able to be controlled even if a hyperlipemic drug can control the amount of the fat in blood, effectiveness sufficient in this independent use was not acquired. Therefore, the matter to which the different obesity prevention or the different obesity improvement of a mechanism from these is urged was called for.

[0005] It was not known that what is made into *****, on the other hand, has the obesity prevention operation and the obesity improvement operation in a classification

of Chinese orthodox medicine.

[0006]

[Problem(s) to be Solved by the Invention] The basis of such a situation succeeds in this invention, and let it be a technical problem to offer the food or the physic constituent which has an obesity prevention operation and an obesity improvement operation.

[0007]

[Means for Solving the Problem] These situation **** and this invention persons found out that there was such an operation resulting from overnutrition absorption depressant action to ***** in a classification of Chinese orthodox medicine, and made it complete invention, as a result of repeating research in quest of the matter which has an obesity prevention operation or an obesity improvement operation. Hereafter, this invention is stated to a detail.

[0008] (1) The overnutrition absorption inhibitor of overnutrition absorption inhibitor this invention of this invention consists of *****. ***** means the drugs which have the operation which sinks many symptoms, such as generation of heat by the infectious disease, diarrhea, a chill, malaise, a cough, and a sputum, in a classification of Chinese orthodox medicine. It is supposed that it is the result of an anti-pathogenic microbe operation of *****, activation of living body immune systems, such as a macrophage, etc. working as a mechanism of these operations. As instantiation of concrete *****, the Caprifoliaceae Japanese honeysuckle (*Lonicera japonica*), Rubiaceae -- a gardenia (*Gardenia jasminoides*) -- Poaceae KOSASAKUSA (*Lophatherum gracile*), the Lamiaceae prunella (*Prunella vulgaris*), Leguminosae *Cassia obtusifolia* (*Cassia tora*), department *Rehmannia* Root of sesame NOHAKUSA (*Rehmannia glutinosa*), The Lamiaceae *Scutellaria baicalensis* (*Scutellaria baicalensis*), the Ranunculaceae coptis root (*Coptis chinensis*) and Rutaceae -- a yellowfin tuna (*Phellodendron amurense*) -- The Saururaceae *Houttuynia* (*Houttuynia cordata*), Leguminosae BUNDOU (*Phaseolus radiatus*), the Cucurbitaceae watermelon (*Citrullus vulgaris*) and Nymphaeaceae -- the plant body itself and its extract of a lotus (*Nelumbo nucifera*) are mentioned. Among these, desirable things are KOSASAGUSA, *Cassia obtusifolia*, Japanese honeysuckle, and a lotus, and still more desirable things are Japanese honeysuckle and a lotus. The matter which has overnutrition absorption depressant action to any part of a plant body is contained about the use part of these plant bodies. Although special limitation is not carried out, about fruits and KOSASAKUSA A leaf, [Japanese honeysuckle] About a seed and *Rehmannia* Root A root, [prunella] [*Cassia obtusifolia* / inflorescence, an ear, and] About a bark and *Houttuynia* A terrestrial part, [coptis root / *Scutellaria baicalensis* and] [yellowfin tuna / a terrestrial part and] Since a root and the matter with which a leaf has [BUNDOU / watermelon / fruits and / fruits] overnutrition absorption depressant action about a lotus contain in high concentration about the gardenia, it can illustrate preferably. These plant body and its extract can also merely use only a kind, and can also use it combining two or more sorts.

[0009] When using these plant bodies as an overnutrition absorption inhibitor, the extracted plant body may be used as it is, and the workpiece were obtained by carrying out desiccation or grinding may be used. What is necessary is to add the polar solvent of an amount to the above-mentioned plant body or its workpiece one to 100 times, and to just be immersed at the temperature a room temperature or near the boiling point, although it is the approach of obtaining an extract from these plant bodies. Stirring may be added if needed. As a polar solvent, halogenated hydrocarbon, such as nitril, such as ketones, such as ether, such as alcohols, such as water and ethanol, diethylether, and a tetrahydrofuran, an acetone, and a methyl ethyl ketone, and an acetonitrile, a methylene chloride, and chloroform, can be illustrated. These solvents may use only one sort, and may mix and use two or more sorts. A thing desirable as polar solvents is the high water and ethanol of safety. The extract obtained in this way may be used as it is, and after it carries out reduced pressure distilling off etc. and removes a solvent, it may be used. Furthermore, with liquid-liquid extraction, a column chromatography, etc., these extracts may be drawn a refined part and may be used. In this invention, an extract means these generic names.

[0010] (2) The operation above-mentioned ***** has overnutrition absorption depressant action. The overnutrition absorption depressant action said by this invention means the operation which improves and prevents symptoms, such as are recording of the fat which controls the energy-absorbing beyond the need and is produced by absorption of superfluous energy, and obesity, hyperlipemia-izing of blood. Therefore, overnutrition absorption inhibitory action checks absorption of energy beyond the need, does not mean a dangerous operation which is slimmed, and controls intake of superfluous energy. This is in ** also from the group which prescribed these overnutrition absorption inhibitor for the patient usually looking at neither the reduction in weight, nor reduction of a fat rather than a meal administration group, as shown in the after-mentioned example. The overnutrition absorption inhibitor of this invention will work to obesity or prevention of adiposity, if a medicine is prescribed for the patient in the situation of growing fat, and if the bottom of the situation of having already grown fat is medicated, it will work to the improvement of obesity. Furthermore, the overnutrition absorption inhibitor of this invention is excellent also in safety, as shown also in the after-mentioned example.

[0011] (3) The constituent of constituent this invention of this invention is what could blend with the conventional method the arbitration component for the overnutrition absorption inhibitor described above and dosage-forms-izing, and especially limitation will not be carried out if the class of the pharmaceutical preparation is generally used with the food constituent or the physic constituent.

[0012] As a food constituent,-izing can be carried out [****] to juice, a candy, jelly, a pan, noodles, etc. with a conventional method with arbitration components, such as correctives, a preservative, a stabilizer, an excipient, and a food raw material. Desirable loadings are 1 - 50 % of the weight, and are good to blend one to 20% of the weight still more preferably. As intake of the overnutrition absorption inhibitor per day,

although it changes with the class and processing situation of an overnutrition absorption inhibitor, a symptom, an intake person's weights, height, age, etc., it is appropriate to take in 100-100000mg in several steps.

[0013] as a physic constituent -- an excipient, disintegrator, a binder, a stabilizer, an emulsification dispersant, coating lubricant, correctives, a coloring agent, pH regulator, an isotonicity agent, a glyocalyx agent, etc. -- a granule, powder, a tablet, a capsule, and injections -- it passes and-izing can be carried out [dosage forms] to a rectum agent etc. as a conventional method. As a route of administration of injections, an intravenous injection, arterial injection, portal vein injection, subcutaneous injection, an intramuscular injection, etc. can be illustrated. As a dose of the overnutrition absorption inhibitor per day, although it changes with the class and processing situation of an overnutrition absorption inhibitor, a symptom, an intake person's weights, height, age, etc., it is appropriate to take in 100-100000mg in several steps.

[0014]

[Example] Although explained to below by giving an example and giving an example about this invention in more detail, it cannot be overemphasized that this invention does not receive limitation in these examples at all.

[0015] Water warm-water-extracted example of example 1 manufacture various *****, it freeze-dried, and the overnutrition absorption inhibitor was obtained. That is, after having added 5l. of water to various **** agent 500g, carrying out the heating extract at 90 degrees C for 3 hours and removing insoluble matter by filtration, it freeze-dried and the overnutrition absorption inhibitor was obtained. Yield is shown in Table 1.

[0016]

[Table 1]

過剰栄養吸収抑制剤	基源植物	部位	収率
過剰栄養吸収抑制剤1	コササギ	葉部	18%
過剰栄養吸収抑制剤2	エノキ	種子	16%
過剰栄養吸収抑制剤3	スイカ	果実	23%
過剰栄養吸収抑制剤4	ハス	葉部	12%

[0017] The acute toxicity of the overnutrition absorption inhibitor of this invention by internal use was investigated using six ICR male mouse 1 groups of 5 weeks old of example 2 acute toxicity. That is, the physiological saline was made to dissolve and distribute the overnutrition absorption inhibitors 1-4 by the concentration of 100mg/ml, a medicine was prescribed for the patient with the dose of 1000mg/kg, and life and death were judged 14 days after. No results accepted the example of death, but it became clear that the overnutrition absorption inhibitor of this invention is excellent in safety.

[0018] About the example 3 overnutrition absorption depressant action overnutrition absorption inhibitors 1-4, the ICR male mouse (6 weeks old) was used, and the overnutrition absorption depressor effect under a high fat food thing was examined. That is, it bred for four weeks with the feed which more often [5% of 85% / of commercial powder feed /, and lard 10%, and overnutrition absorption inhibitors] than the 8th week of after the birth kneaded to the mouse which carried out preliminary breeding for after [arrival of goods] two weeks, and the weight of weight and a perimeter [epididymis] fat was measured, the amount of perimeter [epididymis] fats was *(ed) in weight, and the weight percent to the weight of a perimeter [epididymis] fat was computed. In addition, a high fat food control group is what transposed the overnutrition absorption inhibitor to powder feed, and bred that with which the experiment control group transposed lard to crystalline cellulose, and transposed the overnutrition absorption inhibitor to powder feed again. A result is shown in Table 2. It turns out that it has controlled that the weight of weight and a perimeter [epididymis] fat is controlled rather than the high fat food control group, and do not become lower than they of an experiment control group, and, as for an overnutrition inhibitor administration group, the overnutrition absorption inhibitor of this invention absorbs a superfluous nutrition from this table.

[0019]

[Table 2]

過剰栄養吸収抑制剤	副睾丸周囲脂肪の重量百分率
1	2.55
2	2.40
3	2.50
4	2.35
コントロール(ラット)	2.95
無処置(通常食)	1.80

[0020] The operation over hypothalamic obesity was considered using the mouse (MSG mouse) which destroyed hypothalamus by the subcutaneous administration of the operation sodium L-glutamate monohydrate to example 4 hypothalamic obesity. That is, sodium L-glutamate monohydrate was administered hypodermically for five days with the dose of 2 mg/g and a day to the ICR mouse on after-the-birth the 1st, and it considered as the MSG mouse. A mother is made to raise this for one month, and the 4th week of after the birth was weaned, and it is that which kneaded the overnutrition absorption inhibitor in powder feed from the 6th week of after the birth, and bred for four weeks. In addition, the overnutrition absorption inhibitor was replaced with powder feed, and experiment control bred it. Weight was measured when breeding with the powder feed for four weeks was completed. Weight at the

time of termination was ** (ed) in the weight at the time of initiation, and it asked for the rate of weight increase. A result is shown in Table 3. It is in ** that the overnutrition absorption inhibitor of this invention has the operation which limits to the alimentation which suited that growth where [which is the model animal of the morbid obesity which destroyed hypothalamus] growth is controlled also in a MSG mouse, and controls obesity from this result.

[0021]

[Table 3]

過剰栄養吸収抑制剤	平均体重増加率 (%)
1	125.4
2	125.6
3	126.0
4	128.0
無処置	131.1

[0022] The candy was created according to the formula shown in an example 5 – the example table 4 of 7 combination. That is, the heating dissolution of the A component was carried out at 150 degrees C, B component was added after cooling at 120 degrees C, after carrying out stirring equalization, molding cooling was carried out and the candy was obtained.

[0023]

[Table 4]

成分		配合量 (重量部)		
		実施例5	実施例6	実施例7
A	砂糖	58	67	67
	水飴	30	30	30.9
B	クエン酸	1	1	1
	過剰栄養吸収抑制剤1	10		
	過剰栄養吸収抑制剤2		1	
	過剰栄養吸収抑制剤3			0.1
	香料	1	1	1

[0024] GUMI was created according to the formula shown in an example 8 – the

example table 5 of 10 combination. namely, . B component which the heating dissolution was carried out [component] and carried out the swelling dissolution of the A component separately at 110 degrees C was added, C component was added further, and it slushed into the mold, and after neglect, it removed from the mold and GUMI was obtained one whole day and night.

[0025]

[Table 5]

成分		配合量 (重量部)		
		実施例 8	実施例 9	実施例 10
A	砂糖	40	40	40
	水飴	40	40	40
B	ゼラチン	8	8	8
	水	5	5	5
C	クエン酸	2	2	2
	過剰栄養吸収抑制剤 2	4		
	過剰栄養吸収抑制剤 3		4	
	過剰栄養吸収抑制剤 4			4

[0026] Juice was created according to the formula shown in an example 11 – the example table 6 of 12 combination. that is, the component of Table 6 is stirred well and it dissolves -- making -- sterilization -- aseptic was carried out, it sealed and juice was obtained.

[0027]

[Table 6]

成分		配合量 (重量部)	
		実施例 11	実施例 12
林檎果汁		98	98
過剰栄養吸収抑制剤 1		2	
過剰栄養吸収抑制剤 4			2

[0028] The pan was created based on the formula shown in the example table 7 of

example 13 combination. That is, stirring mixing is improved A component, after having been easy to add B component warmed at 40 degrees C at this, kneading it and making it ferment at 37 degrees C temporarily for 1 hour, it cast by carrying out gas drainage, and secondary fermentation was carried out for 30 minutes at 37 degrees C. This was baked in 250-degree C oven for 25 minutes, and the pan was obtained.

[0029]

[Table 7]

成分		配合量 (g)
A	強力粉	500
	イースト	10
	砂糖	15
	過剰栄養吸収剤 4	5
B	湯 (37℃)	350
	塩	15

[0030] The granule was created according to the formula of an example 14 – the example table 8 of 16 combination. That is, A component of a formula was mixed well, and under stirring, B component which 20% ethanol water solution of an amount was made to dissolve in this 20 times was added gradually, and was corned. 2 day-and-night ventilation desiccation of this was carried out at 40 degrees C, and the granule was obtained.

[0031]

[Effect of the Invention] Since the overnutrition absorption inhibitor of this invention is excellent in the operation which controls absorption of a superfluous nutrition, the food or the physic constituent which blended this is very useful to prevention of obesity, and the improvement of obesity.

[Table 8]

成分		配合量（重量部）		
		実施例 14	実施例 15	実施例 16
A	乳糖	45	45	45
	デキストラン	45	45	45
	過剰栄養吸収抑制剤 1	5		
	過剰栄養吸収抑制剤 2		5	
	過剰栄養吸収抑制剤 3			5
B	ヒトロキシアビレブローヌ	5	5	5

[Translation done.]